FILE 'REGISTRY' ENTERED AT 17:20:20 ON 25 OCT 2004
L1 STRUCTURE UPLOADED
L2 0 S L1 SAM
L3 15 S L1 SSS FULL

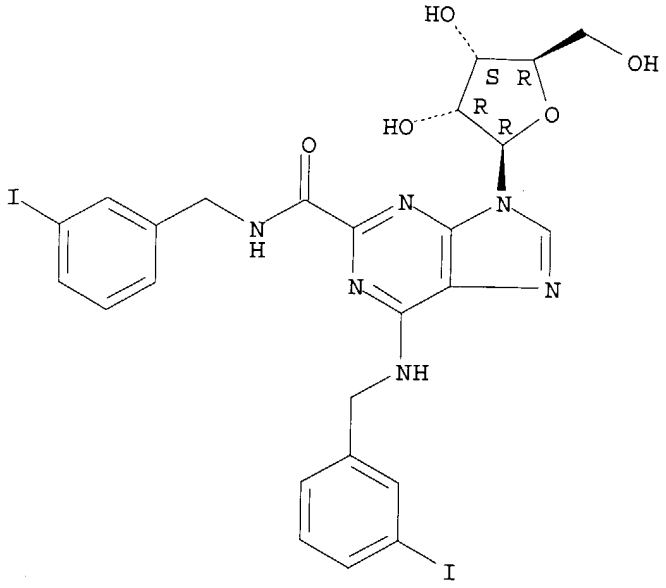
FILE 'CAPLUS' ENTERED AT 17:23:24 ON 25 OCT 2004

=> s l3
L4 6 L3

=> d fbib abs hitstr total

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:406956 CAPLUS
DN 141:235647
TI Modulation of adenosine receptor affinity and intrinsic efficacy in adenine nucleosides substituted at the 2-position
AU Ohno, Michihiro; Gao, Zhan-Guo; Van Rompaey, Philippe; Tchilibon, Susanna; Kim, Soo-Kyung; Harris, Brian A.; Gross, Ariel S.; Duong, Heng T.; Van Calenbergh, Serge; Jacobson, Kenneth A.
CS National Institute of Diabetes and Digestive and Kidney Diseases, DHHS, Laboratory of Bioorganic Chemistry, Molecular Recognition Section, National Institutes of Health (NIH), Bethesda, MD, 20892-0810, USA
SO Bioorganic & Medicinal Chemistry (2004), 12(11), 2995-3007
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB We studied the structural determinants of binding affinity and efficacy of adenosine receptor (AR) agonists. Substituents at the 2-position of adenosine were combined with N6-substitutions known to enhance human A3AR affinity. Selectivity of binding of the analogs and their functional effects on cAMP production were studied using recombinant human A1, A2A, A2B, and A3ARs. Mainly sterically small substituents at the 2-position modulated both the affinity and intrinsic efficacy at all subtypes. The 2-cyano group decreased hA3AR affinity and efficacy in the cases of N6-(3-iodobenzyl) and N6-(trans-2-phenyl-1-cyclopropyl), for which a full A3AR agonist was converted into a selective antagonist; the 2-cyano-N6-Me analog was a full A3AR agonist. The combination of N6-benzyl and various 2-substitutions (chloro, trifluoromethyl, and cyano) resulted in reduced efficacy at the A1AR. The environment surrounding the 2-position within the putative A3AR binding site was explored using rhodopsin-based homol. modeling and ligand docking.
IT 750644-50-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(modulation of adenosine receptor affinity and intrinsic efficacy in adenine nucleosides substituted at the 2-position)
RN 750644-50-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:455019 CAPLUS
DN 139:41800
TI Pharmaceutical combinations containing adenosine A2a receptor and adrenoceptor agonists
IN Yeadon, Michael
PA UK
SO U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI US 2003109485	A1	20030612	US 2002-307727		20021202
			GB 2001-29397	A	20011207
			US 2002-352394P	P	20020128
WO 2003047628	A1	20030612	WO 2002-IB5046		20021128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2001-29397 A 20011207

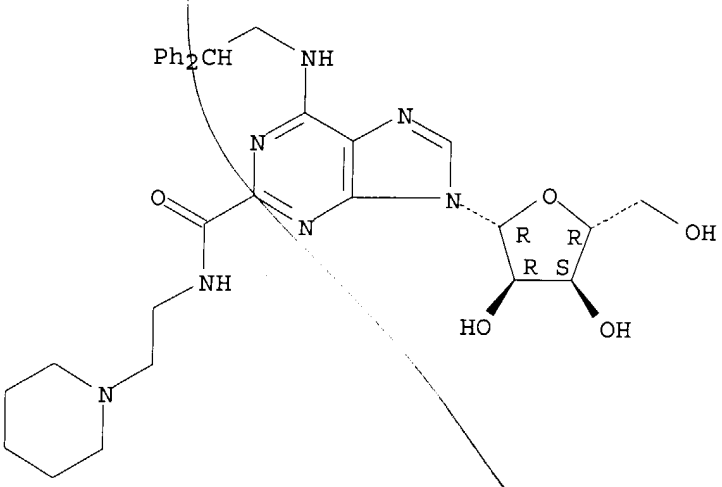
OS MARPAT 139:41800

AB The present invention relates to a combination comprising (a) an adenosine A2a receptor agonist and (b) an adrenergic receptor agonist, for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease. An adrenergic receptor agonist is chosen from e.g., salmeterol of formoterol. The compds. can be administered in inhalant formulations for the treatment of e.g., obstructive airway disease.

this is from US 307,727 + has been abandoned

IT **313344-83-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combinations containing adenosine A2a receptor and adrenoceptor agonists)
RN 313344-83-1 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:927275 CAPLUS
DN 138:11420
TI An adenosine A2a receptor agonist and an anticholinergic agent in combination for treating obstructive airways diseases
IN Yeadon, Michael; Armstrong, Roisin A.
PA Pfizer Inc., USA
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002096462	A1	20021205	WO 2002-EP5725	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-293842P	P 20010525
			GB 2001-29275	A 20011206
			GB 2002-10238	A 20020503
EP 1395287	A1	20040310	EP 2002-745316	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 2001-293842P	P 20010525
			GB 2001-29275	A 20011206
			GB 2002-10238	A 20020503

BR 2002009986	A	20040406	WO 2002-EP5725	W	20020524
			BR 2002-9986		20020524
			US 2001-293842P	P	20010525
			GB 2001-29275	A	20011206
			GB 2002-10238	A	20020503
			WO 2002-EP5725	W	20020524
EE 200300586	A	20040415	EE 2003-586		20020524
			US 2001-293842P	P	20010525
			GB 2001-29275	A	20011206
			GB 2002-10238	A	20020503
			WO 2002-EP5725	W	20020524
US 2004171576	A1	20040902	US 2003-479085		20031124
			US 2001-293842P	P	20010525
			GB 2001-29275	A	20011206
			GB 2002-10238	A	20020503
			WO 2002-EP5725	W	20020524

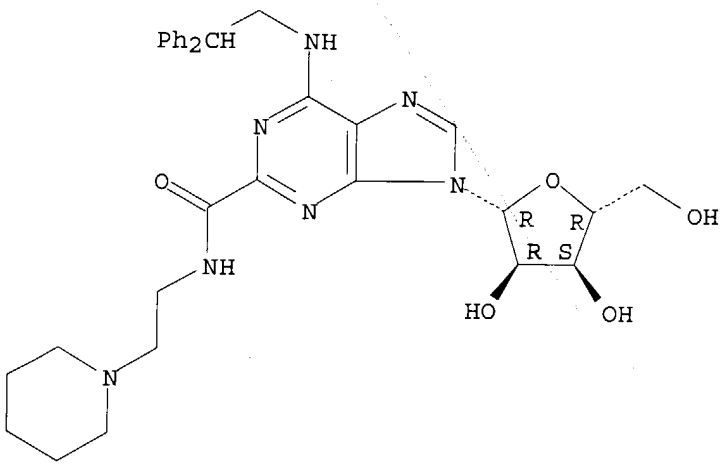
AB The present invention relates to a combination of a selective adenosine A2a receptor agonist and an anticholinergic agent for simultaneous, sequential or sep. administration by the inhaled route in the treatment of an obstructive airways or other inflammatory disease, with the proviso that the anticholinergic agent is not a tiotropium salt.

IT **313344-83-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A2a agonists and anticholinergic agent in combination for treating obstructive airways diseases)

RN 313344-83-1 CAPLUS

CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:905869 CAPLUS
DN 138:8333
TI Combination of an adenosine A2A-receptor agonist and tiotropium or a derivative thereof for treating obstructive airways and other inflammatory diseases
IN Yeadon, Michael; Armstrong, Roisin Anne; Watson, John W.
PA Boehringer Ingelheim Pharma Kg, Germany
SO PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002094273	A2	20021128	WO 2002-EP5764	20020525
	WO 2002094273	A3	20031211		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

				US 2001-293530P	P 20010525
				US 2001-303934P	P 20010709
	US 2003013675	A1	20030116	US 2002-154561	20020524
				US 2001-293530P	P 20010525
				US 2001-303934P	P 20010709
	EP 1397140	A2	20040317	EP 2002-740650	20020525

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2001-293530P	P	20010525
US 2001-303934P	P	20010709
WO 2002-EP5764	W	20020525

OS MARPAT 138:8333

AB A combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprises (i) an adenosine A2A receptor agonist, and (ii) an anticholinergic agent, administered sep., simultaneously or sequentially by inhalation. The preferred anticholinergic agent component is tiotropium bromide. For example, a pressurized, tetrafluoroethylene-coated aluminum canister for use in a metered dose inhaler was prepared, sufficient to provide about 200 actuations of the inhaler, each actuation providing about 20 μg of each active ingredient. The contents of each the canister were: N-[[9-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(methoxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-9H-purin-2-yl]methyl]-2-phenylacetamide, tiotropium bromide, dichlorotetrafluoroethane, trichloromonofluoromethane, dichlorodifluoromethane, and soya lecithin.

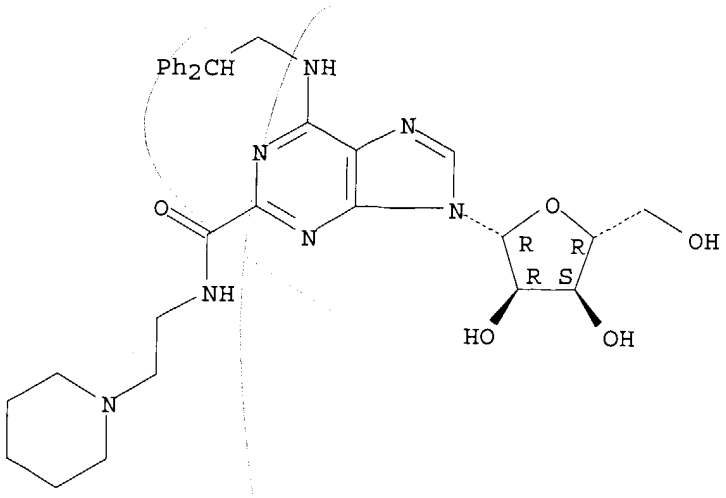
IT **313344-83-1 313344-84-2 313344-88-6 313344-89-7 313344-90-0 313352-80-6 380221-58-9 380221-59-0 476644-85-6 476644-86-7**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of adenosine A2A-receptor agonist and anticholinergic agent for treating obstructive airways and other inflammatory diseases)

RN 313344-83-1 CAPLUS

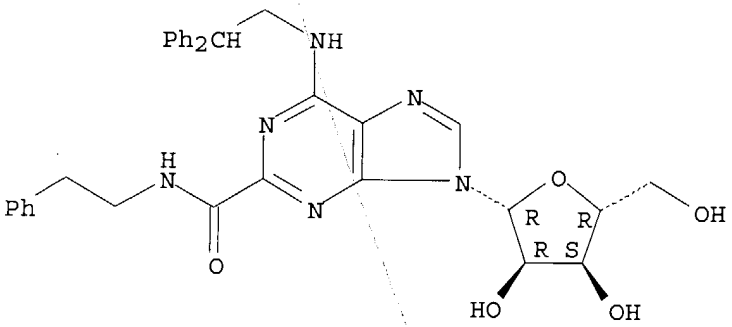
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



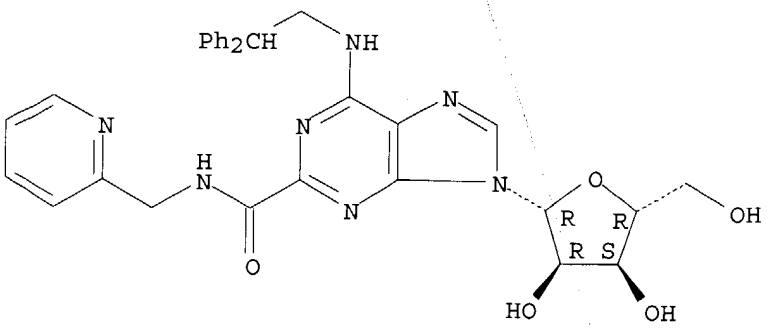
RN 313344-84-2 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[(2-phenylethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



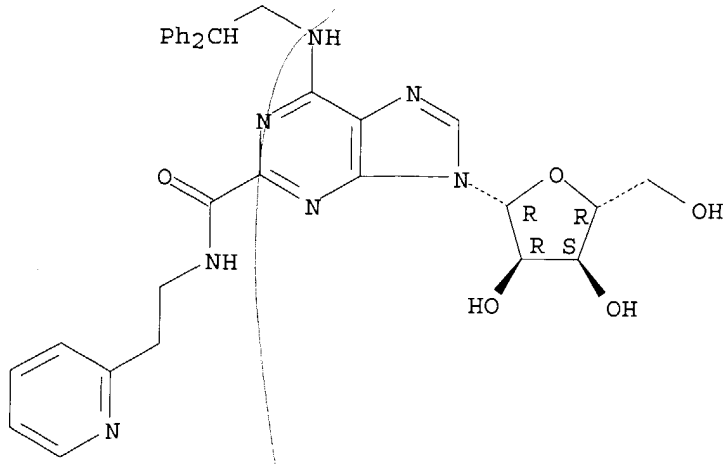
RN 313344-88-6 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[(2-pyridinylmethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



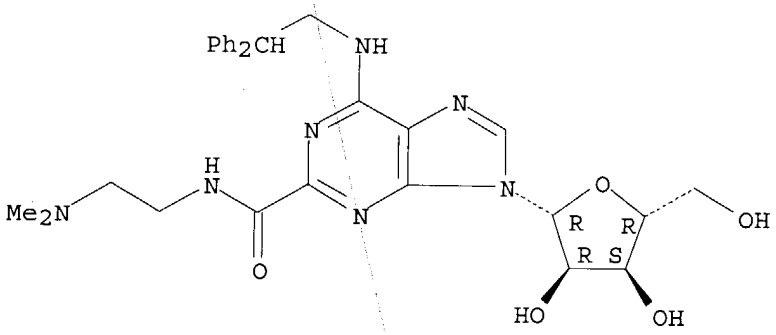
RN 313344-89-7 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



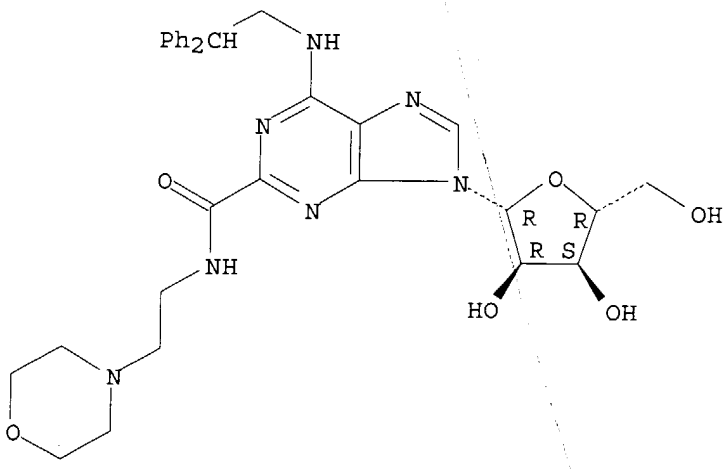
RN 313344-90-0 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(dimethylamino)ethyl]amino]carbony
l]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313352-80-6 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(4-morpholinyl)ethyl]amino]carbony
l]- (9CI) (CA INDEX NAME)

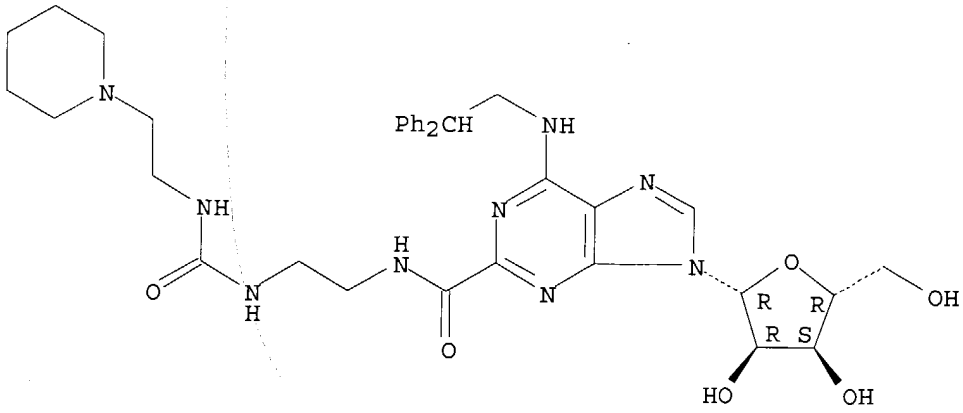
Absolute stereochemistry.



RN 380221-58-9 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-[[[[2-(1-
piperidinyl)ethyl]amino]carbonyl]amino]ethyl]amino]carbonyl]- (9CI) (CA

INDEX NAME)

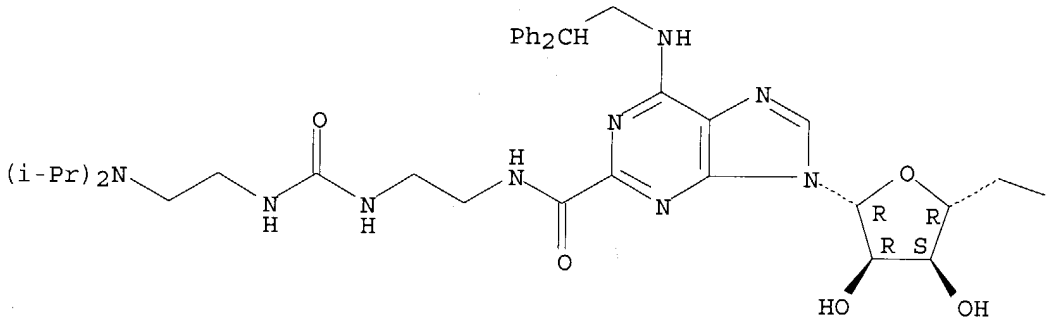
Absolute stereochemistry.



RN 380221-59-0 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[11-methyl-10-(1-methylethyl)-1,6-dioxo-2,5,7,10-tetraazadodec-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

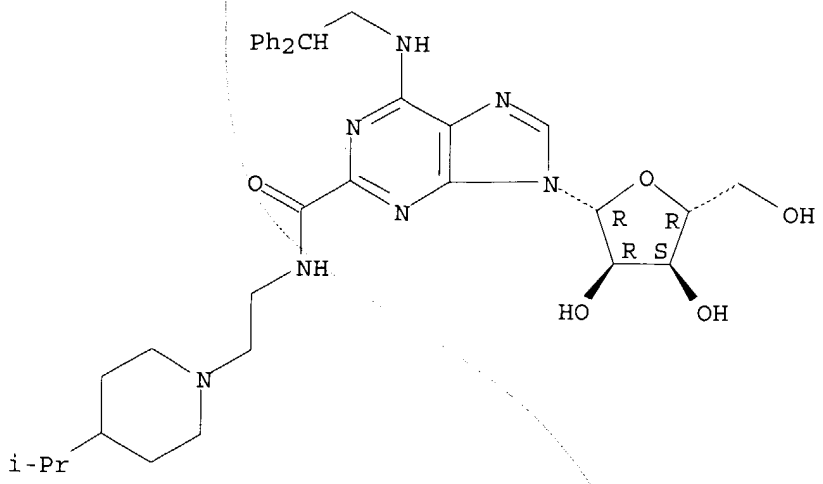


PAGE 1-B

OH

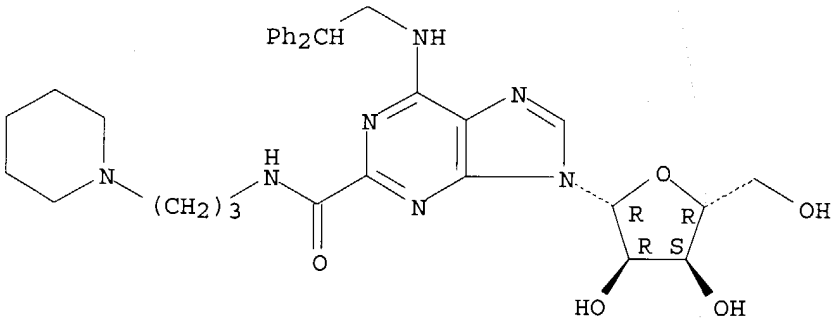
RN 476644-85-6 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-[4-(1-methylethyl)-1-piperidinyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 476644-86-7 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[3-(1-piperidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:904207 CAPLUS
DN 136:37902
TI Preparation of 2-aminocarbonyl-9H-purine nucleosides and their uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents
IN Mantell, Simon John; Stephenson, Peter Thomas
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001094368	A1	20011213	WO 2001-IB973	20010605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
US 2002058641	A1	20020516	US 2001-874007		20010605
US 6753322	B2	20040622			
			GB 2000-14048	A	20000606
			US 2000-214307P	P	20000627
			GB 2000-18246	A	20000725
			US 2000-225236P	P	20000815
			GB 2000-24920	A	20001011
			US 2000-245243P	P	20001102
EP 1292604	A1	20030319	EP 2001-934242		20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
BR 2001011263	A	20030617	BR 2001-11263		20010605
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
JP 2003535871	T2	20031202	JP 2002-501916		20010605
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
NZ 522184	A	20040528	NZ 2001-522184		20010605
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
EE 200200678	A	20040615	EE 2002-678		20010605
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
BG 107216	A	20030530	BG 2002-107216		20021023
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
NO 2002005821	A	20030204	NO 2002-5821		20021204
			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			WO 2001-IB973	W	20010605
ZA 2002009875	A	20031205	ZA 2002-9875		20021205
			GB 2000-14048	A	20000606
US 2004077584	A1	20040422	US 2003-676782		20031001
			GB 2000-14048	A	20000606
			US 2000-214307P	P	20000627
			GB 2000-18246	A	20000725
			US 2000-225236P	P	20000815
			GB 2000-24920	A	20001011
			US 2000-245243P	P	20001102
			US 2001-874007	A3	20010605

OS MARPAT 136:37902
GI

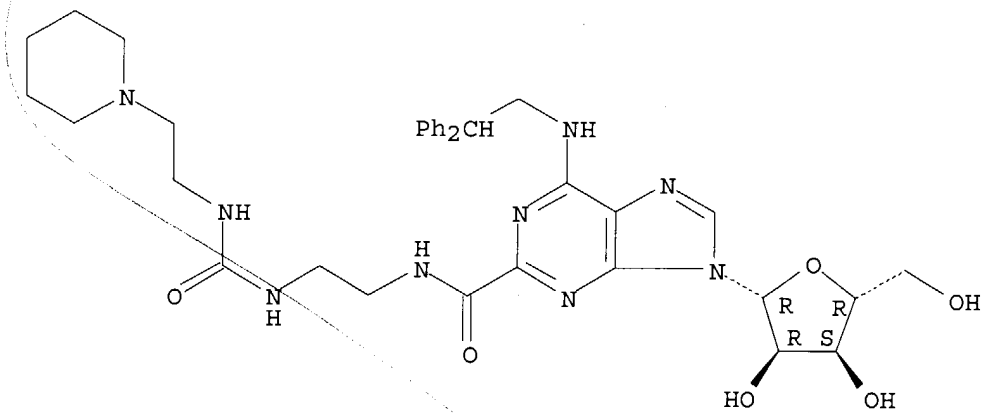
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2-Aminocarbonyl-9H-purine nucleosides I wherein R, R2 are independently H, alkyl; R1 is H, substituted alkyl, fluorenyl; R3 is H, alkyl, cycloalkyl, benzyl; R4 is substituted azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; R3R4 taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by alkyl or cycloalkyl; R5 is CH2OH, amide; X is substituted alkylene; RX or R2X with the nitrogen atom to which they are attached , represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; Y is CO, CS, SO2, C=N(CN); were prepared as A2a receptor agonists and anti-inflammatory agents. Thus, nucleoside II was prepared and tested as A2a receptor agonist and anti-inflammatory agent. Title compds. were tested for biol. activity as A2a receptor agonists and anti-inflammatory agents and all were found to have an IC50 of less than 100 nM.

IT **380221-58-9P 380221-59-0P 380221-64-7P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

RN 380221-58-9 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-[[[[2-(1-piperidinyl)ethyl]amino]carbonyl]amino]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

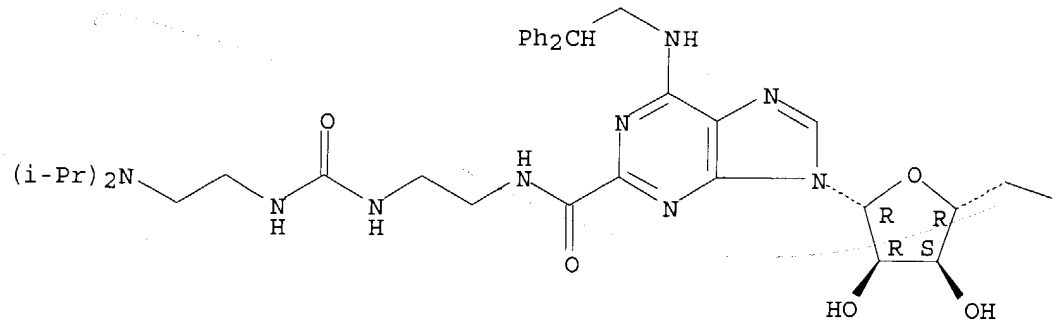
Absolute stereochemistry.



RN 380221-59-0 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[11-methyl-10-(1-methylethyl)-1,6-dioxo-2,5,7,10-tetraazadodec-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



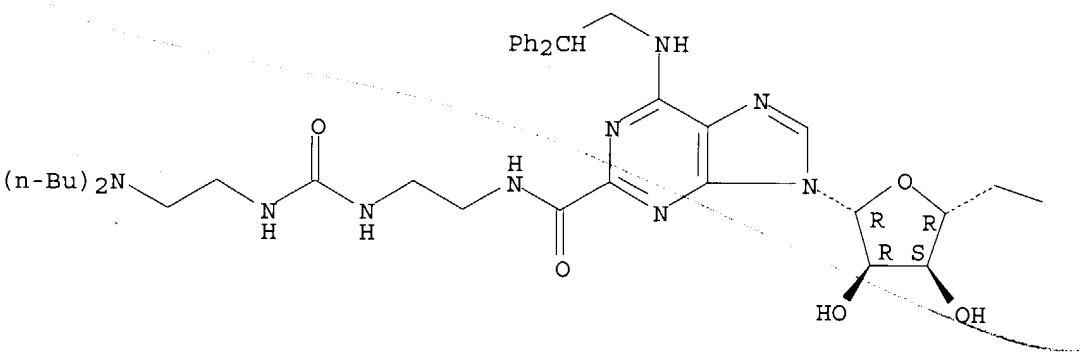
PAGE 1-B

OH

RN 380221-64-7 CAPLUS
CN Adenosine, 2-(10-butyl-1,6-dioxo-2,5,7,10-tetraazatetradec-1-yl)-N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

OH

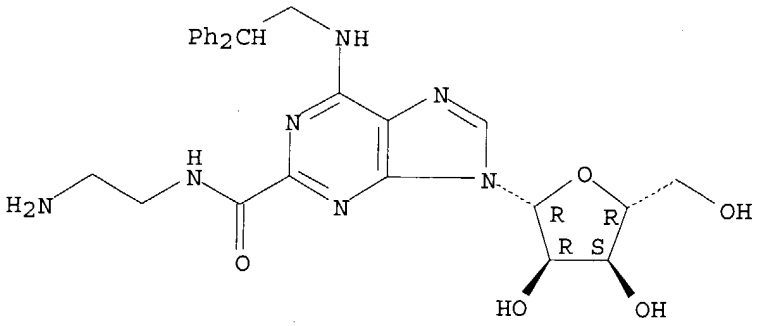
IT **380222-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment

of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

RN 380222-16-2 CAPLUS

CN Adenosine, 2-[[(2-aminoethyl)amino]carbonyl]-N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



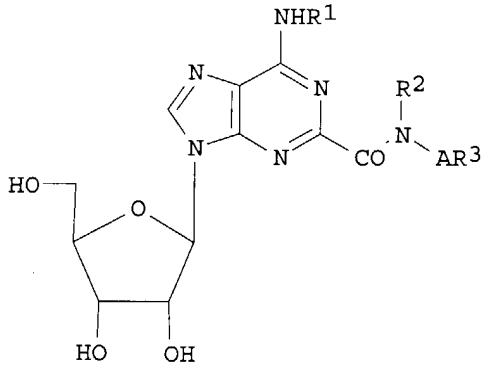
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:900654 CAPLUS
DN 134:56915
TI Preparation of purine nucleosides as antiinflammatory agents
IN Mantell, Simon John; Monaghan, Sandra Marina
PA Pfizer Limited, UK; Pfizer, Inc.
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000077018	A2	20001221	WO 2000-IB789	20000613
WO 2000077018	A3	20011206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			GB 1999-13932	A 19990615
EP 1185542	A2	20020313	EP 2000-931495	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			GB 1999-13932	A 19990615
			WO 2000-IB789	W 20000613
BR 2000011705	A	20020326	BR 2000-11705	20000613
			GB 1999-13932	A 19990615
			WO 2000-IB789	W 20000613
TR 200103607	T2	20021021	TR 2001-200103607	20000613
			GB 1999-13932	A 19990615
JP 2003502339	T2	20030121	JP 2001-503875	20000613
			GB 1999-13932	A 19990615
			WO 2000-IB789	W 20000613
EE 200100681	A	20030415	EE 2001-681	20000613
			GB 1999-13932	A 19990615

			WO 2000-IB789	W	20000613
AU 764106	B2	20030807	AU 2000-49443		20000613
			GB 1999-13932	A	19990615
			WO 2000-IB789	W	20000613
NZ 516094	A	20040730	NZ 2000-516094		20000613
			GB 1999-13932	A	19990615
			WO 2000-IB789	W	20000613
ZA 2001010208	A	20021212	ZA 2001-10208		20011212
			GB 1999-13932	A	19990615
HR 2001000927	A1	20030430	HR 2001-927		20011213
			GB 1999-13932	A	19990615
			WO 2000-IB789	W	20000613
NO 2001006109	A	20020215	NO 2001-6109		20011214
			GB 1999-13932	A	19990615
			WO 2000-IB789	W	20000613
BG 106289	A	20020930	BG 2002-106289		20020108
			GB 1999-13932	A	19990615
			WO 2000-IB789	W	20000613

OS MARPAT 134:56915
GI



I

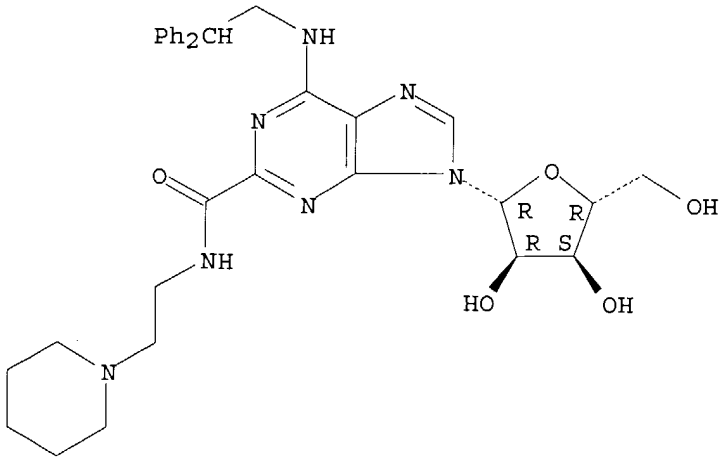
AB Nucleosides I (R1 = H, alkyl, arylalkyl; R2 = H, alkyl; R3 = H, alkyl, ester, CN, amide, cycloalkyl, Ph, naphthyl; A = alkylidene, imine, alkoxy, oxycarbonyl, sulfone, sulfonamide), and pharmaceutically acceptable salts and solvates thereof and to processes for the preparation of, intermediates used in the preparation of, compns. containing and the uses of, such compds. as adenosine A2a receptor agonists. Thus, I (R1 = CH2CHPh2, R2 = H, R3 = 1-piperidinyl, A = CH2CH2) was prepared and tested for its antiinflammatory activity by its ability to inhibit neutrophil function (IC50 < 1 μM).

IT **313344-83-1P 313344-84-2P 313344-85-3P**
313344-86-4P 313344-88-6P 313344-89-7P
313344-90-0P 313352-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purine nucleosides as antiinflammatory agents)

RN 313344-83-1 CAPLUS

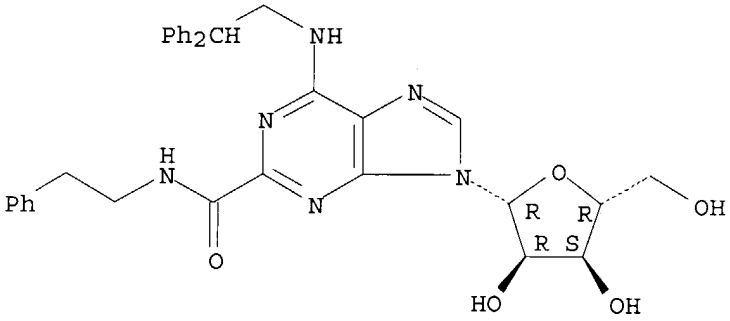
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



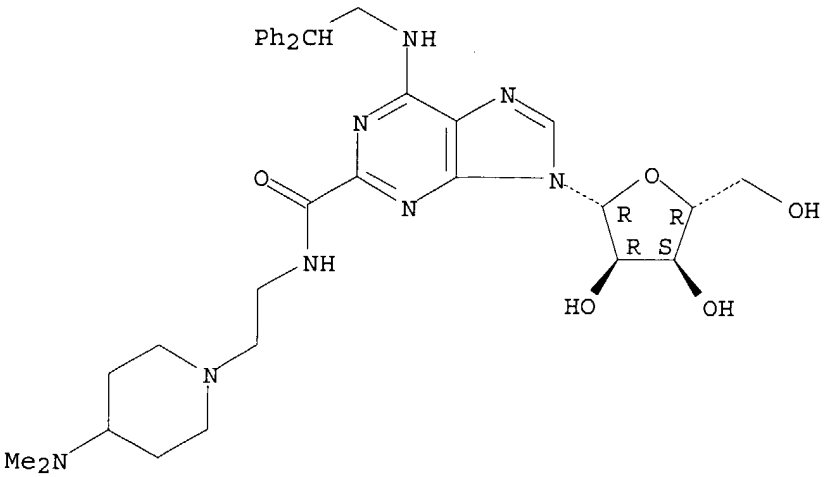
RN 313344-84-2 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[(2-phenylethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313344-85-3 CAPLUS
CN Adenosine, 2-[[[2-[4-(dimethylamino)-1-piperidinyl]ethyl]amino]carbonyl]-N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

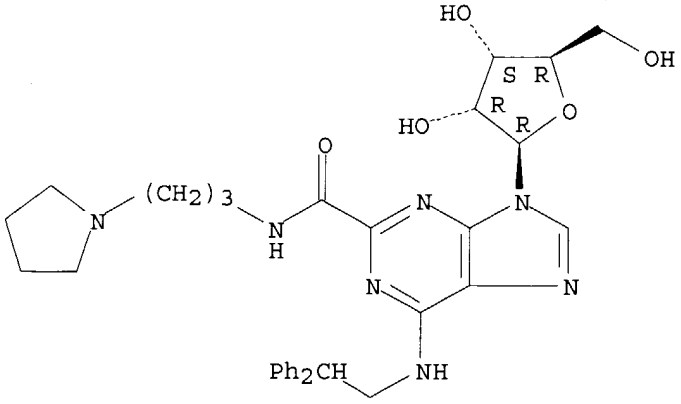
Absolute stereochemistry.



RN 313344-86-4 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[3-(1-pyrrolidinyl)propyl]amino]carbo

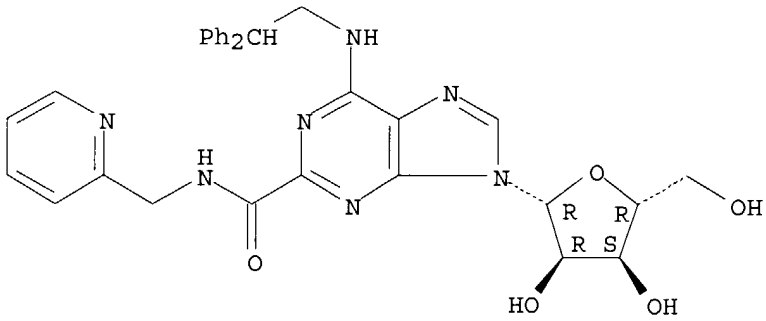
nyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



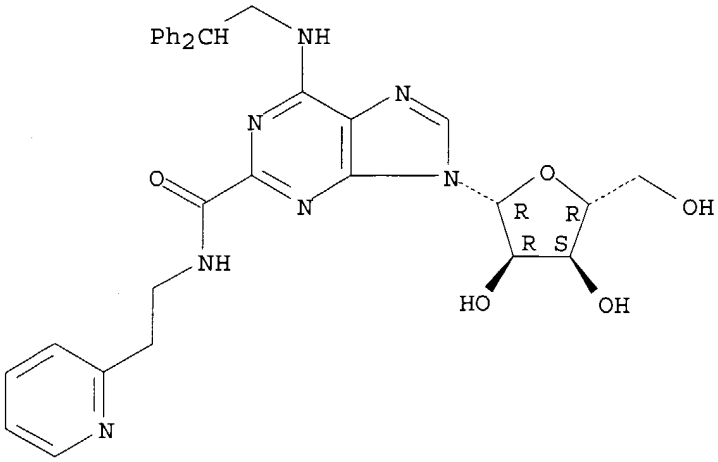
RN 313344-88-6 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[(2-pyridinylmethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313344-89-7 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

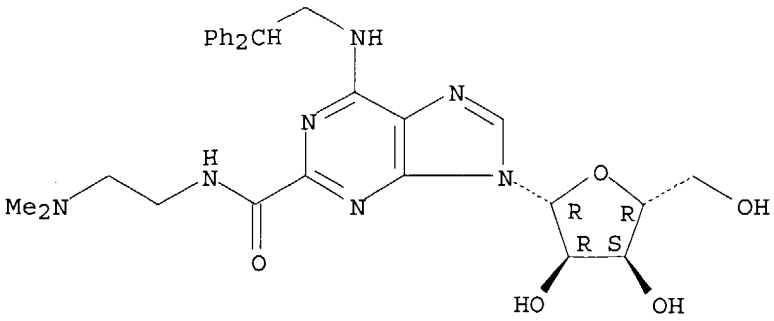
Absolute stereochemistry.



RN 313344-90-0 CAPLUS

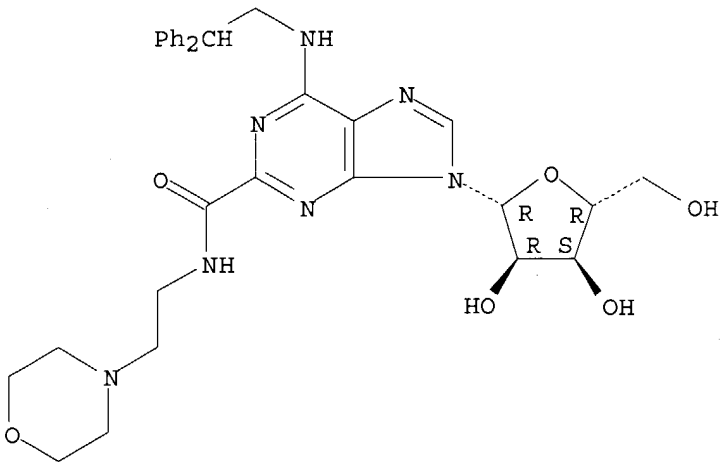
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(dimethylamino)ethyl]amino]carbony
l]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



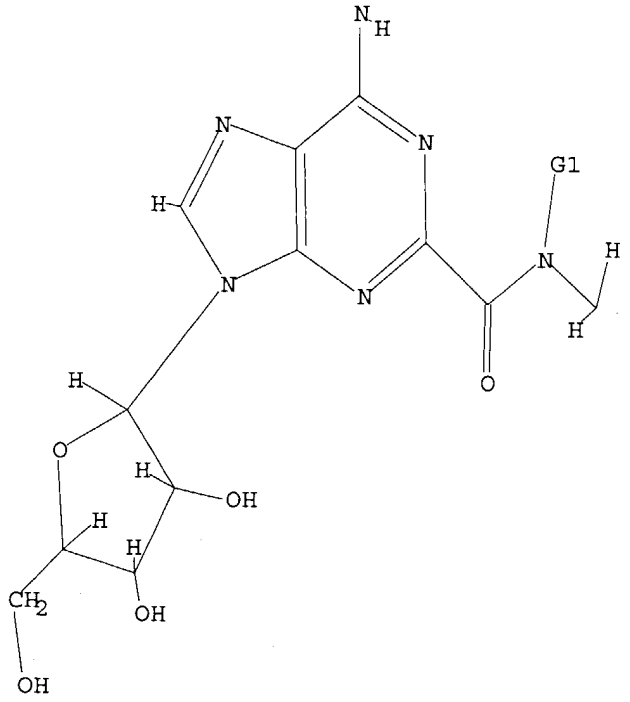
RN 313352-80-6 CAPLUS
CN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(4-morpholinyl)ethyl]amino]carbony
l]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 17:20:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 33 TO 447
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:20:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 364 TO ITERATE

100.0% PROCESSED 364 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

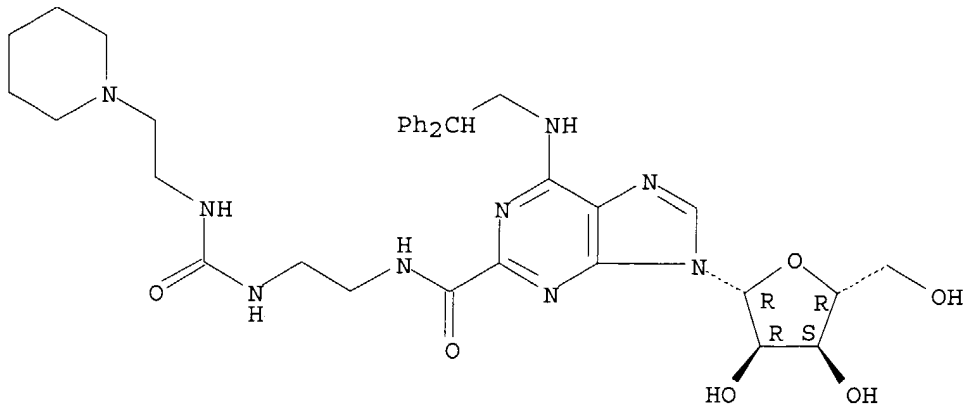
L3 15 SEA SSS FUL L1

=> d scan stf 1-15 l3
'1-15' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

IN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-[[[[2-(1-piperidinyl)ethyl]amino]carbonyl]amino]ethyl]amino]carbonyl]- (9CI)
MF C35 H45 N9 O6

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

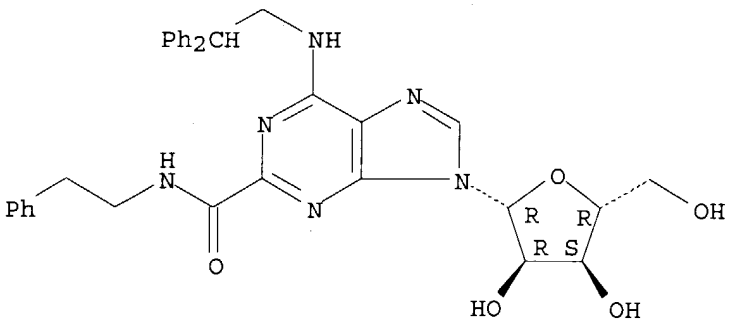
The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):14

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[(2-phenylethyl)amino]carbonyl]- (9CI)
MF C33 H34 N6 O5

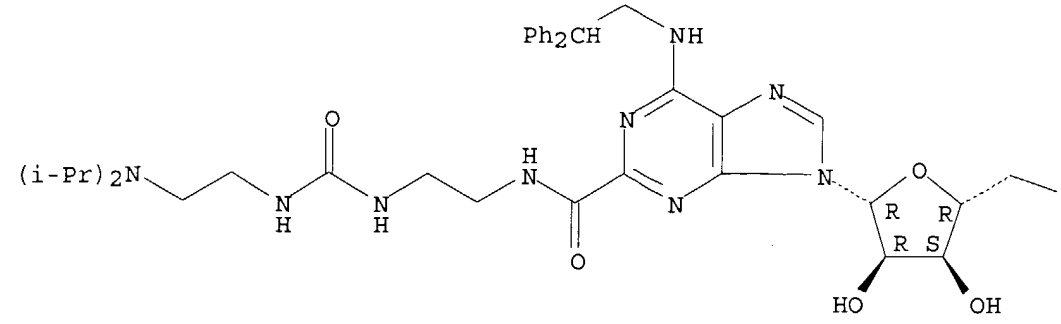
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[11-methyl-10-(1-methylethyl)-1,6-dioxo-2,5,7,10-tetraazadodec-1-yl]- (9CI)
MF C36 H49 N9 O6

Absolute stereochemistry.

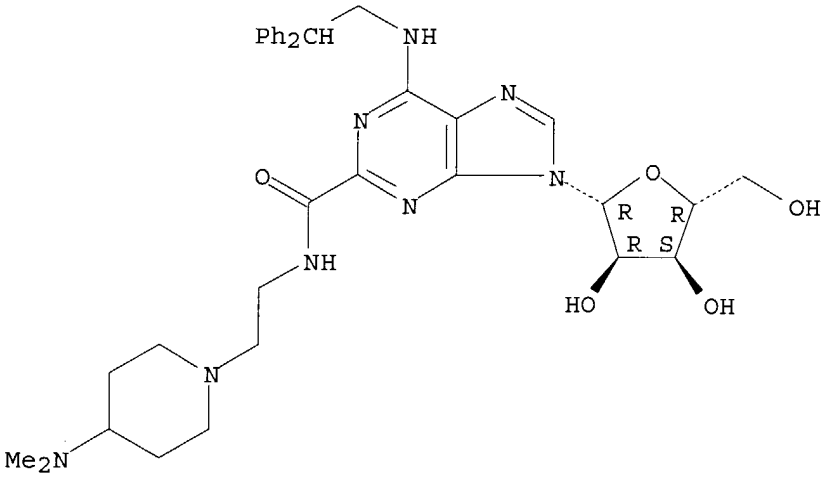


OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, 2-[[[2-[4-(dimethylamino)-1-piperidinyl]ethyl]amino]carbonyl]-N-(2,2-diphenylethyl)- (9CI)
MF C34 H44 N8 O5

Absolute stereochemistry.

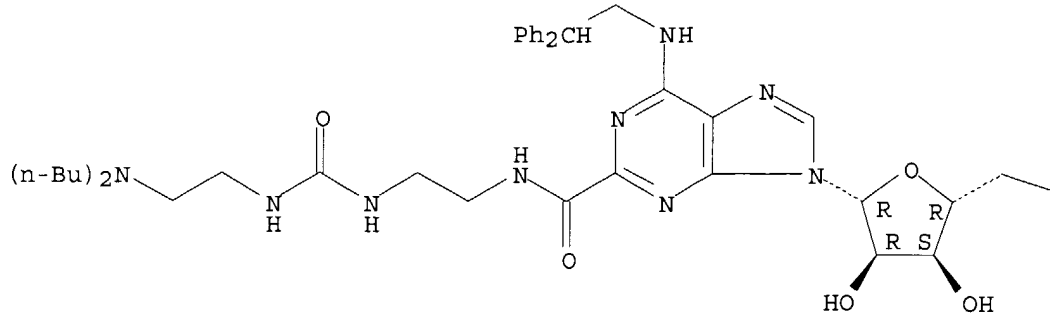


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, 2-(10-butyl-1,6-dioxo-2,5,7,10-tetraazatetradec-1-yl)-N-(2,2-diphenylethyl)- (9CI)
MF C38 H53 N9 O6

Absolute stereochemistry.

PAGE 1-A



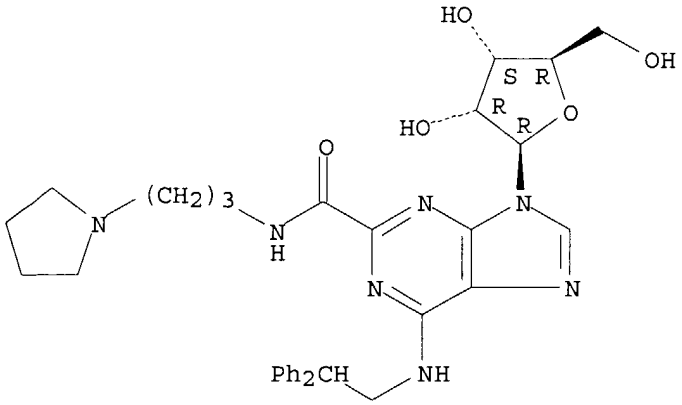
PAGE 1-B

OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[3-(1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI)
MF C32 H39 N7 O5

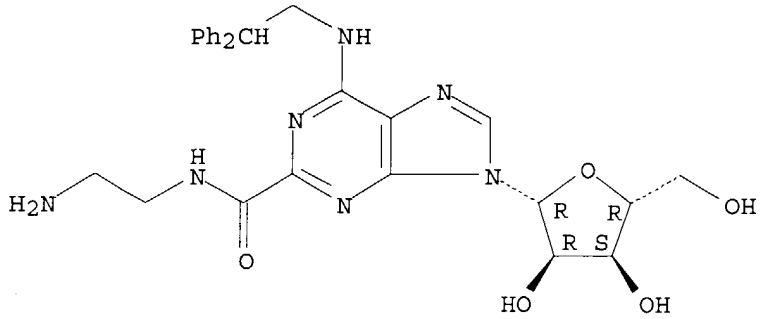
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, 2-[[(2-aminoethyl)amino]carbonyl]-N-(2,2-diphenylethyl)- (9CI)
MF C27 H31 N7 O5

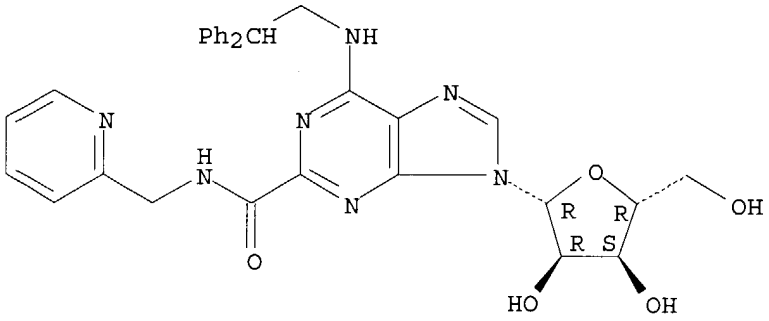
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[(2-pyridinylmethyl)amino]carbonyl]-
(9CI)
MF C31 H31 N7 O5

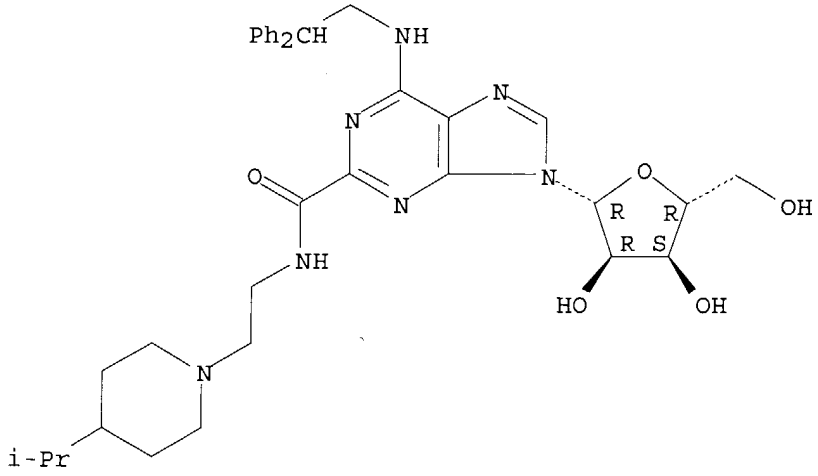
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-[4-(1-methylethyl)-1-
piperidinyl]ethyl]amino]carbonyl]- (9CI)
MF C35 H45 N7 O5

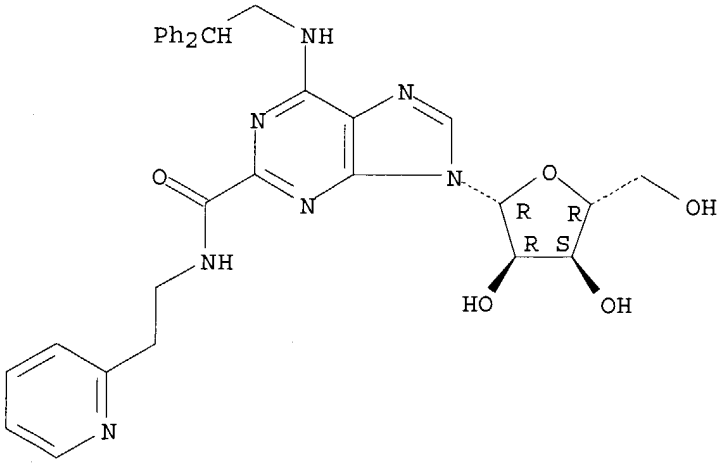
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-
(9CI)
MF C32 H33 N7 O5

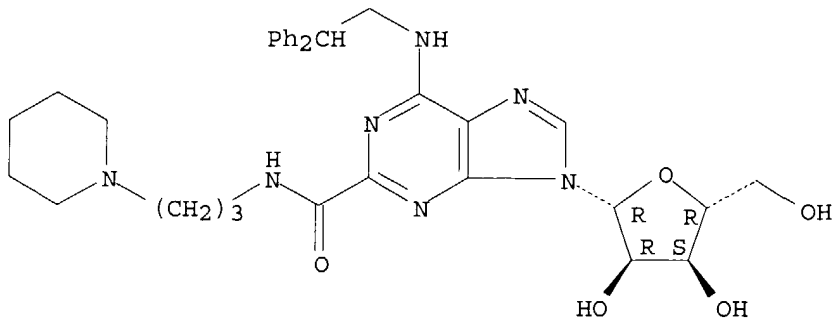
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[3-(1-piperidinyl)propyl]amino]carbon
yl]- (9CI)
MF C33 H41 N7 O5

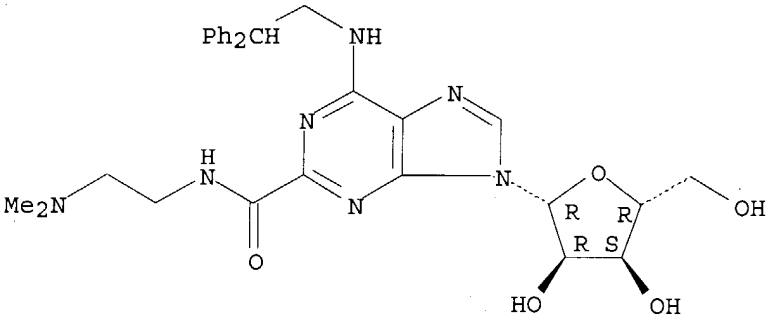
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(dimethylamino)ethyl]amino]carbonyl]- (9CI)
MF C29 H35 N7 O5

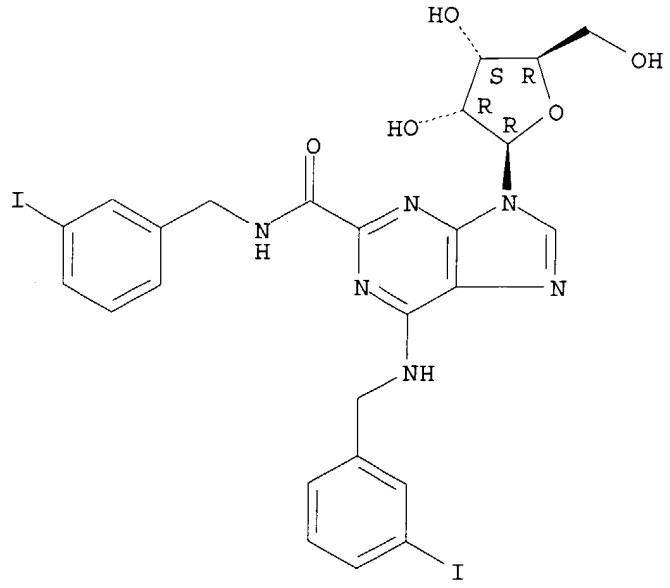
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C25 H24 I2 N6 O5

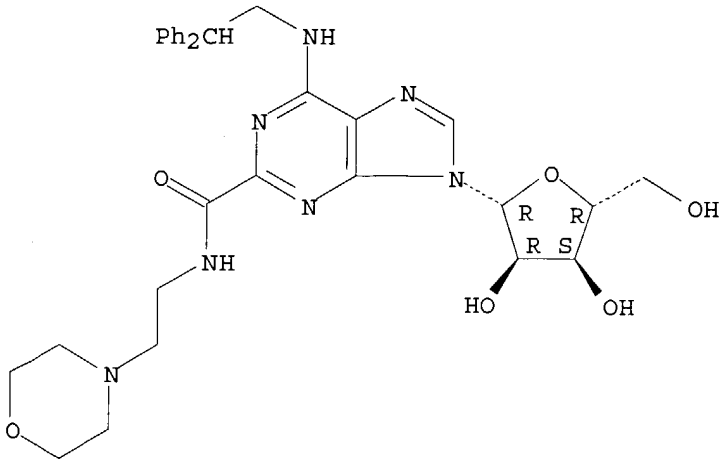
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI)
MF C31 H37 N7 O6

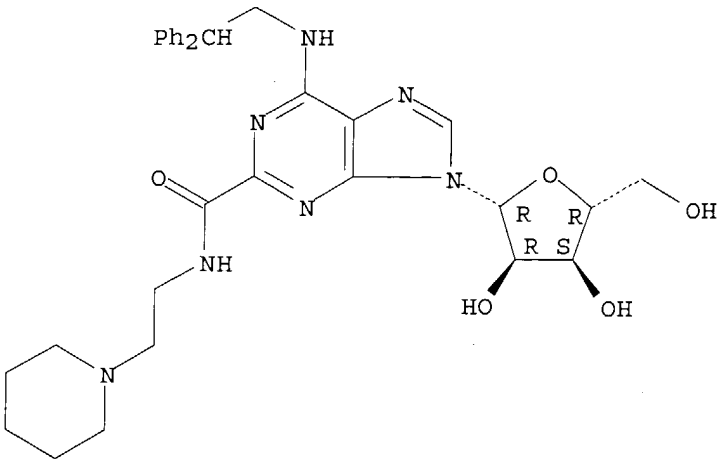
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 15 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Adenosine, N-(2,2-diphenylethyl)-2-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI)
MF C32 H39 N7 O5

Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNE